ACCESS DB # 170284

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Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name: MARK BERCH Examiner # : 59193 Date: 11/1/05

Art Unit: 1624 Phone Number: 2- 0663 Serial Number: 10676914

Location (Bldg/Room#): 5C01 (Mailbox #): 5C18 Results Format Preferred (circle): (PAPER) DISK

****

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: _____

Inventors (please provide full names): _____

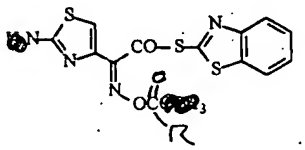
_____

Earliest Priority Date: _____

Search Topic:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

For Sequence Searches Only *Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*



R = H, C1-4 alkyl

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STAFF USE ONLY

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Searcher Phone #: _____

Searcher Location: _____

Date Searcher Picked Up: _____

Date Completed: _____

Searcher Prep & Review Time: _____

Online Time: _____

Type of Search

_____ NA Sequence (#)

_____ AA Sequence (#)

_____ Structure (#)

_____ Bibliographic

_____ Litigation

_____ Fulltext

_____ Other

Vendors and cost where applicable

_____ STN _____ Dialog

_____ Questel/Orbit _____ Lexis/Nexis

_____ Westlaw _____ WWW/Internet

____ In-house sequence systems

___ Commercial ___ Oligomer ____ Score/Length

___ Interference ___ SPDI ____ Encode/Transl

_____ Other (specify)

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=> d his ful

     (FILE 'HOME' ENTERED AT 16:17:33 ON 08 NOV 2005)

     FILE 'REGISTRY' ENTERED AT 16:17:40 ON 08 NOV 2005

     FILE 'REGISTRY' ENTERED AT 16:17:49 ON 08 NOV 2005
L1             STR
L2           0 SEA SSS SAM L1
L3           2 SEA SSS FUL L1
               D SCA

     FILE 'HCAPLUS' ENTERED AT 16:20:57 ON 08 NOV 2005
L4           5 SEA ABB=ON  PLU=ON  L3

     FILE 'BEILSTEIN' ENTERED AT 16:21:11 ON 08 NOV 2005
L5           0 SEA SSS FUL L1

     FILE 'MARPAT' ENTERED AT 16:21:26 ON 08 NOV 2005
L6             STR L1
L7           0 SEA SSS SAM L6
L8           1 SEA SSS FUL L6
L9           1 SEA ABB=ON  PLU=ON  L8 NOT L4


     FILE HOME

     FILE REGISTRY
     Property values tagged with IC are from the ZIC/VINITI data file
     provided by InfoChem.

     STRUCTURE FILE UPDATES:    7 NOV 2005  HIGHEST RN 866913-62-4
     DICTIONARY FILE UPDATES:   7 NOV 2005  HIGHEST RN 866913-62-4

     New CAS Information Use Policies, enter HELP USAGETERMS for details.

     TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

       Please note that search-term pricing does apply when
       conducting SmartSELECT searches.

     ************************************************************
     *                                                          *
     * The CA roles and document type information have been removed from *
     * the IDE default display format and the ED field has been added,   *
     * effective March 20, 2005.  A new display format, IDERL, is now    *
     * available and contains the CA role and document type information. *
     *                                                          *
     ************************************************************

     Structure search iteration limits have been increased.  See HELP SLIMITS
     for details.

     REGISTRY includes numerically searchable data for experimental and
     predicted properties as well as tags indicating availability of
     experimental property data in the original document.  For information
     on property searching in REGISTRY, refer to:
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http://www.cas.org/ONLINE/UG/regprops.html

FILE HCAPLUS

FILE COVERS 1907 - 8 Nov 2005 VOL 143 ISS 20
FILE LAST UPDATED: 7 Nov 2005 (20051107/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE BEILSTEIN
FILE LAST UPDATED ON OCTOBER 10, 2005

FILE COVERS 1771 TO 2005.
FILE CONTAINS 9,363,954 SUBSTANCES

>>>PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For mo detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

****
* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST. *
* SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE *
* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE *
* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS. *
* FOR PRICE INFORMATION SEE HELP COST *
****

NEW

*** PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE SEARCHED, SELECTED AND TRANSFERRED.**
*** NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES, ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A COMPOUND AT A GLANCE.**

FILE MARPAT
FILE CONTENT: 1988-PRESENT (VOL 143 ISS 18)(20051028/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6924313 02 AUG 2005
DE 1020040544 04 AUG 2005
EP 1568694 31 AUG 2005
JP 2005213127 11 AUG 2005
WO 2005090358 29 SEP 2005

Expanded G-group definition display now available.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

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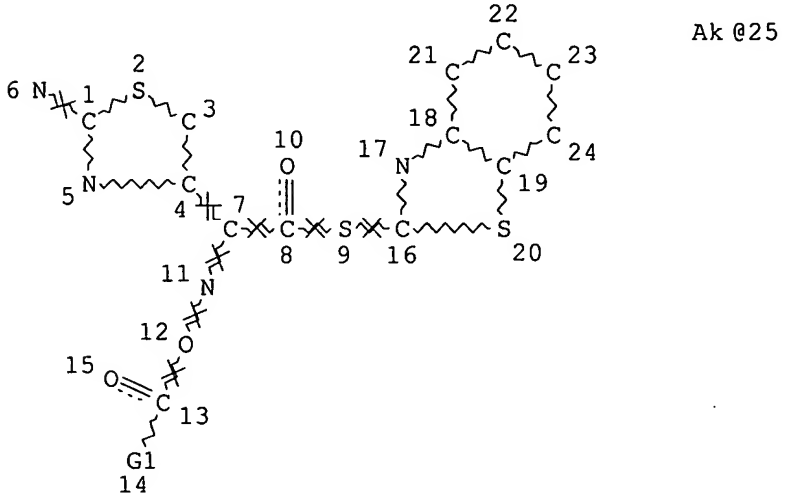


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L4            5 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L3


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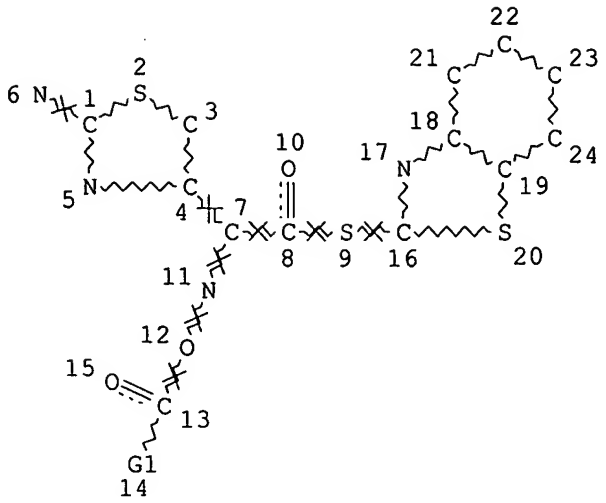

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NUMBER OF NODES IS 25

STEREO ATTRIBUTES: NONE
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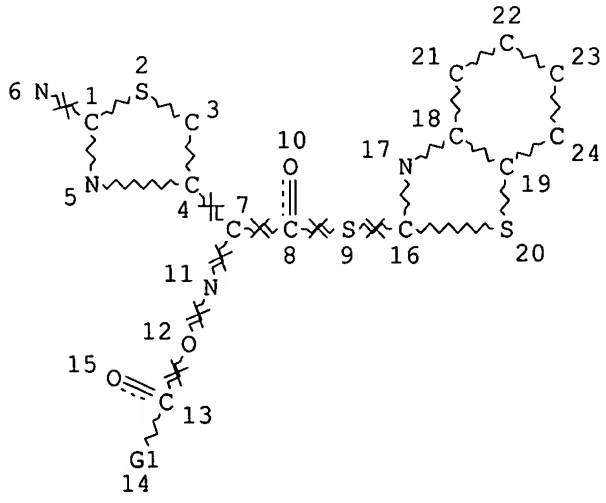

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STEREO ATTRIBUTES: NONE
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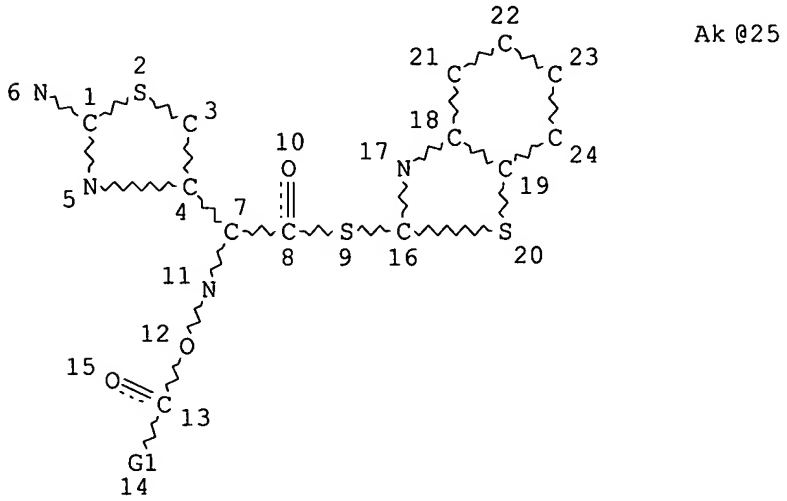
L4 5 SEA FILE=HCAPLUS ABB=ON PLU=ON L3
L6 STR



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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
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NUMBER OF NODES IS 25

STEREO ATTRIBUTES: NONE
L8 1 SEA FILE=MARPAT SSS FUL L6
L9 1 SEA FILE=MARPAT ABB=ON PLU=ON L8 NOT L4

=> d ibib abs hitstr 14 1-5; d ibib abs qhit 19 1
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS' - CONTINUE? (Y)/N:y

L4 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:1036707 HCAPLUS
DOCUMENT NUMBER: 142:23139
TITLE: Process for preparing Cefdinir

INVENTOR(S): Dandala, Ramesh; Korrapati, V. V. Prasada Rao; Sivakumaran, Meenakhshisunderam
PATENT ASSIGNEE(S): India
SOURCE: U.S. Pat. Appl. Publ., 6 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004242557	A1	20041202	US 2003-676914	20031001
PRIORITY APPLN. INFO.:			IN 2003-MA441 A	20030602

OTHER SOURCE(S): CASREACT 142:23139

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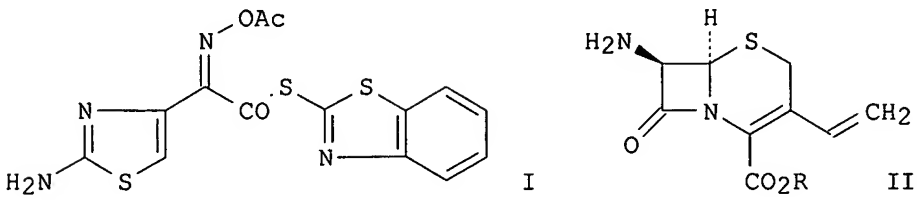

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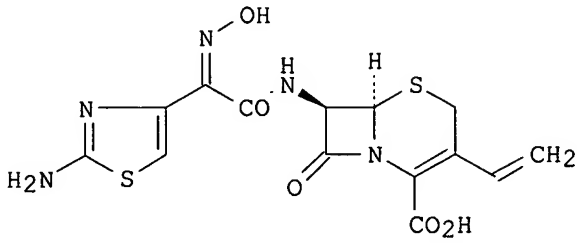
II



III

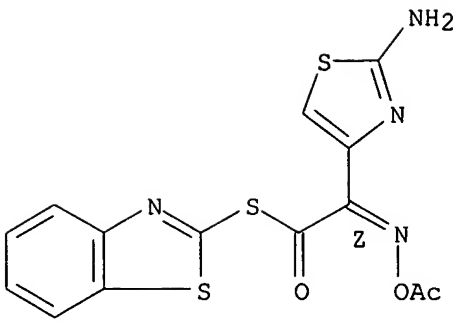
AB A process was disclosed for the preparation of the intermediate thioester, 2-mercapto-benzothiazolyl (Z)-2-(2-amino-4-thiazolyl)-2-acetyloxyiminoacetate (I), and its subsequent amidation reaction with 7-amino-3-vinyl-3-cephem-4-carboxylic acid II (R = H) or a corresponding cephem ester, such as II (R = C6H4-4-OMe, C6H4-4-NO2, CHPh2), to form the β-lactam antibiotic Cefdinir (III).

IT **104797-47-9P**, 2-Mercaptobenzothiazolyl (Z)-2-(2-amino-4-thiazolyl)-2-acetyloxyiminoacetate
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for the preparation of Cefdinir via the intermediate ester, 2-mercaptobenzothiazolyl (Z)-2-(2-amino-4-thiazolyl)-2-acetyloxyiminoacetate)

RN 104797-47-9 HCAPLUS

CN 4-Thiazoleethanethioic acid, α-[(acetyloxy)imino]-2-amino-, S-2-benzothiazolyl ester, (αZ)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L4 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:162698 HCAPLUS
DOCUMENT NUMBER: 140:217437
TITLE: Process for the preparation of cefdinir intermediate
INVENTOR(S): Kremminger, Peter; Wolf, Siegfried; Ludescher, Johannes
PATENT ASSIGNEE(S): Sandoz G.m.b.H., Austria
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004016623	A1	20040226	WO 2003-EP8944	20030812
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SY, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
EP 1554289	A1	20050720	EP 2003-787771	20030812
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.:
AT 2002-1223 A 20020813
AT 2002-1588 A 20021018
WO 2003-EP8944 W 20030812

OTHER SOURCE(S): MARPAT 140:217437
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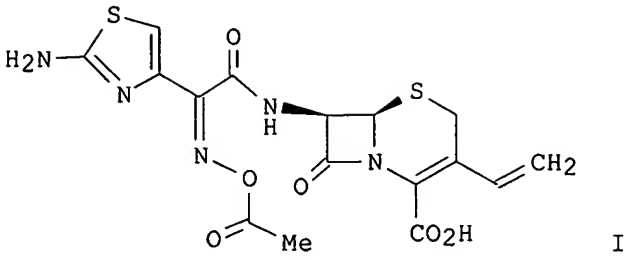


I

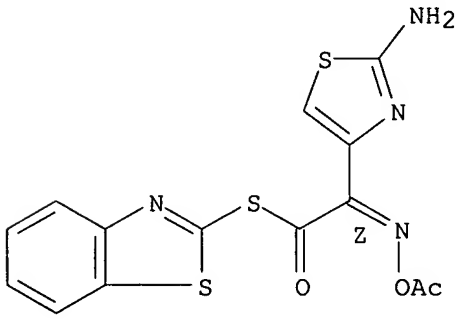
AB A process is claimed for the synthesis of 7-[2-(2-aminothiazol-4-yl)-2-(methylcarbonyloxyimino)acetamido]-3-vinyl-cephem-4-carboxylic acid (I), in the form of a crystalline salt, such as I.HX [X = Cl-, HSO4-,RYO3-, H2NSO3-, 1/2(SO4)2-; R = alkyl, aryl; Y = S, P], and their use in the preparation of pure cefdinir. Thus, a reactive derivative of syn-2-(2-aminothiazol-4-yl)2-(methylcarbonyloxyimino)-acetic acid, e.g., syn-2-(2-aminothiazol-4-yl)2-(methylcarbonyloxyimino)-acetic acid mercapto-benzothiazolyl ester is reacted with 7-amino-3-vinyl-3-cephem-4-carboxylic acid in silylated form to obtain I, in which the carboxylic acid is optionally silylated. In another aspect, the present invention relates to salt of I, optionally in crystalline form, wherein the salt is selected from the group consisting of phosphate, hydrogen phosphate, mesylate, tosylate, sulfate, hydrogen sulfate and sulfamate.

IT **104797-47-9P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process and intermediates in the production of cefdinir)

RN 104797-47-9 HCAPLUS

CN 4-Thiazoleethanethioic acid, α-[(acetyloxy)imino]-2-amino-, S-2-benzothiazolyl ester, (αZ)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:428755 HCAPLUS
DOCUMENT NUMBER: 122:187252
TITLE: Preparation of (oxopyrrolidinylidenemethyl)cephalospor in derivatives and related compounds as antibacterials.
INVENTOR(S): Angehrn, Peter; Wei, Chung-Chen
PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.

SOURCE: Eur. Pat. Appl., 149 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 620225	A1	19941019	EP 1994-104997	19940330
EP 620225	B1	20021113		
R: AT, BE, CH, DE, DK, ES, FR, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 5523400	A	19960604	US 1994-213562	19940321
PRIORITY APPLN. INFO.:			US 1993-48688 A	19930416
			US 1994-213562 A	19940321

OTHER SOURCE(S): MARPAT 122:187252
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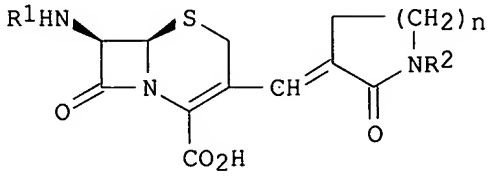

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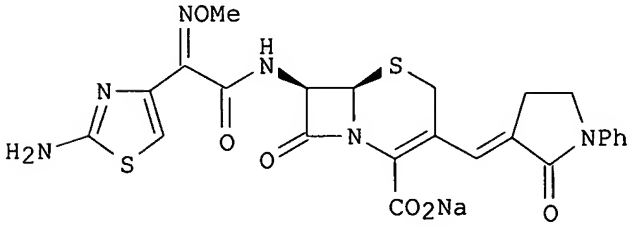


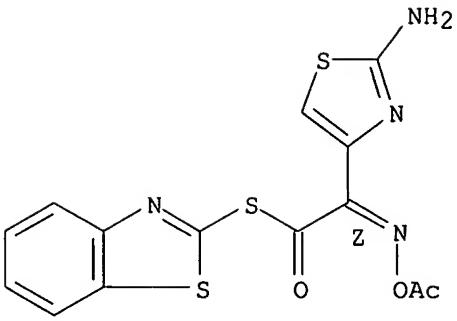
II

AB Title compds. [I; R1 = acyl derived from a carboxylic acid; R2 = H, OH, (substituted) alkyl, alkylcarbonyl, alkylsulfonyl, cycloalkyl, alkoxy, alkenyl, cycloalkenyl, alkynyl, aryloxy, aralkoxy, heterocyclyl, etc.; n = 0, 1, 2] as well as readily hydrolyzable esters, pharmaceutically acceptable salts, and hydrates thereof, were prepared Thus, [6R-[3(E),6α, 7β]]-3-[(2-oxo-1-phenyl)-3-pyrrolidinylidenemethyl]-7-amino-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid trifluoroacetic acid salt, 2-(2-aminothiazol-4-yl)-(Z)-2-methoxyiminoacetic acid 2-benzothiazolyl ester, and NaHCO3 were stirred in THF/H2O to give 98% title compound II. Selected I showed min. inhibitory concns. of 4-8 mg/L against Pseudomonas aeruginosa.

IT **104797-47-9 161676-39-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of (oxopyrrolidinylidenemethyl)cephalosporin derivs. and related compds. as antibacterials)

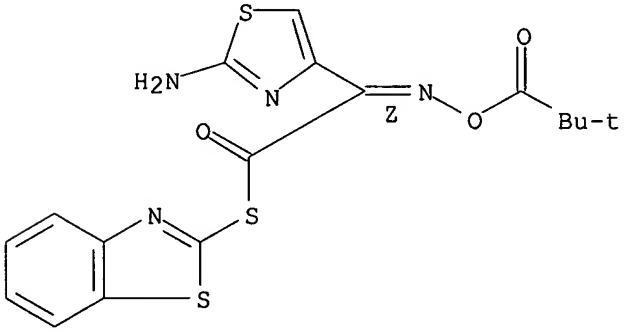
RN 104797-47-9 HCAPLUS
CN 4-Thiazoleethanethioic acid, α-[(acetyloxy)imino]-2-amino-, S-2-benzothiazolyl ester, (αZ)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 161676-39-7 HCAPLUS
CN 4-Thiazoleethanethioic acid, 2-amino-α-[(2,2-dimethyl-1-oxopropoxy)imino]-, S-2-benzothiazolyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L4 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1986:590780 HCAPLUS
DOCUMENT NUMBER: 105:190780
TITLE: Aminothiazolylacetic acid derivatives
INVENTOR(S): Hebeisen, Paul
PATENT ASSIGNEE(S): Hoffmann-La Roche, F., und Co. A.-G., Switz.
SOURCE: Eur. Pat. Appl., 7 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 185221	A2	19860625	EP 1985-114900	19851125
EP 185221	A3	19870819		
EP 185221	B1	19900926		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
CA 1263399	A1	19891128	CA 1985-495059	19851112
AT 56963	E	19901015	AT 1985-114900	19851125
CN 85108996	A	19860610	CN 1985-108996	19851207
CN 1013675	B	19910828		
ZA 8509536	A	19860827	ZA 1985-9536	19851212

AU 8551194	A1	19860626	AU 1985-51194		19851213
AU 581046	B2	19890209			
IL 77329	A1	19900209	IL 1985-77329		19851213
HU 41015	A2	19870330	HU 1985-4794		19851216
HU 195958	B	19880829			
DK 8505911	A	19860620	DK 1985-5911		19851218
JP 61145170	A2	19860702	JP 1985-283148		19851218
JP 07030057	B4	19950405			
US 4888429	A	19891219	US 1988-258062		19881017
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			EP 1985-114900	A	19851125
			US 1985-807702	A1	19851211

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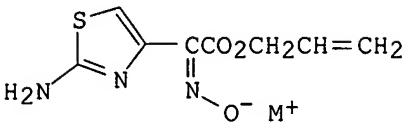

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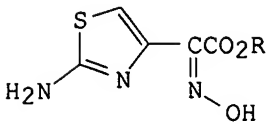
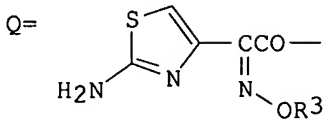


II



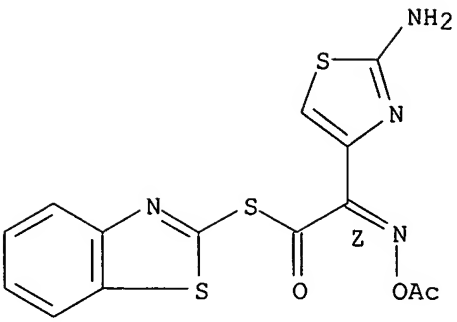
AB Oximes I (M = alkali metal) were prepared by treating II (R = alkyl) with H2C:CHCH2O-M+ in allyl alc. I were then converted in 5 steps into mono-β-lactam, cephalosporin, and penicillin derivs., the amino groups on the β-lactam rings of which have a group Q (R3 = H, alkyl, alkenyl, CH2CO2R4, CMe2CO2R4; R4 = H, easily hydrolyzable group). Thus, syn-II (R = Et) was transesterified with H2C:CHCH2OK to give syn-I (M = K) which was converted into 2-benzothiazolyl 2-(2-amino-4-thiazolyl)-2-(syn-acetoxyimino)thioacetate. This reacted with 7-amino-3-[[(2,5-dihydro-6-hydroxy-2-methyl-5-oxo-as-triazin-3-yl)thio]methyl]-3-cephem-4-carboxylic acid to give di-Na 7-[2-(2-amino-4-thiazolyl)-2-(syn-acetoxyimino)acetamido]-3-[[(2,5-dihydro-6-hydroxy-2-methyl-5-oxo-as-triazin-3-yl)thio]methyl]-3-cephem-4-carboxylate, the acetoxyimino group of which was hydrolyzed to the :NOH group in 77.5% yield.

IT **104797-47-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation by, of aminocephemcarboxylic acid derivative)

RN 104797-47-9 HCAPLUS

CN 4-Thiazoleethanethioic acid, α-[(acetyloxy)imino]-2-amino-, S-2-benzothiazolyl ester, (αZ)- (9CI) (CA INDEX NAME)

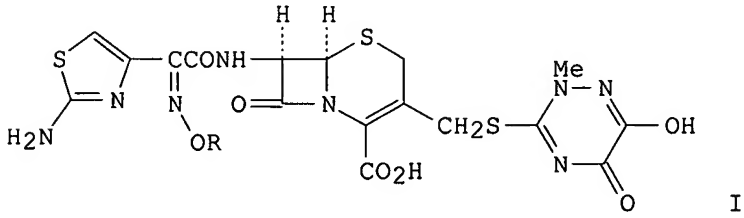
Double bond geometry as shown.



L4 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1986:572176 HCAPLUS
DOCUMENT NUMBER: 105:172176
TITLE: Intermediates for the preparation of cephalosporins
INVENTOR(S): Furlenmeier, Andre
PATENT ASSIGNEE(S): Hoffmann-La Roche, F., und Co. A.-G., Switz.
SOURCE: Eur. Pat. Appl., 8 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 185220	A2	19860625	EP 1985-114898	19851125
EP 185220	A3	19870902		
R: AT, BE, CH, DE, FR, GB, IT, LI, NL				
JP 61145187	A2	19860702	JP 1985-283147	19851218
PRIORITY APPLN. INFO.:			CH 1984-6009 A	19841219

GI



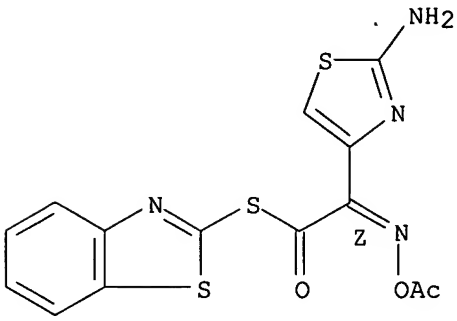
I

AB Cephems I (R = alkanoyl) were prepared as intermediates for the cephalosporin analog I (R = H), with antibiotic and antibacterial properties (no data). syn-I (R = Ac) was prepared in 5 steps from KOCH2CH:CH2 and Et 2-(2-amino-4-thiazolyl)-2-syn-hydroxaminoacetate. Saponification of I (R = Ac) with NaOH in H2O-MeOH gave 77.5% syn-I (R = H) di-Na salt.

IT **104797-47-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation by, of aminocephemcarboxylic acid derivative)

RN 104797-47-9 HCAPLUS
CN 4-Thiazoleethanethioic acid, α-[(acetyloxy)imino]-2-amino-, S-2-benzothiazolyl ester, (αZ)- (9CI) (CA INDEX NAME)

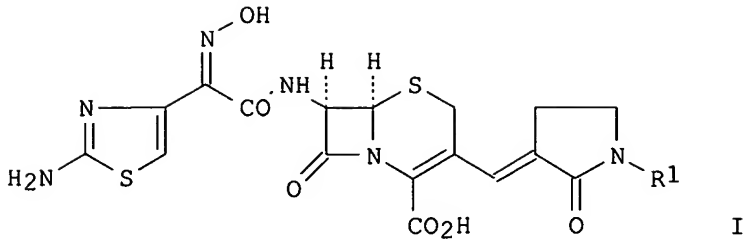
Double bond geometry as shown.



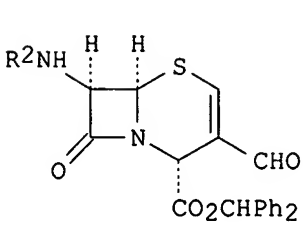
L9 ANSWER 1 OF 1 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 126:238249 MARPAT
TITLE: Preparation of cephalosporin derivatives for use as antibacterial agents
INVENTOR(S): Hebeisen, Paul; Stalder, Henri; Heinze-Krauss, Ingrid; Weiss, Urs; Richter, Hans; Yiannikouros, George Petros; Runtz, Valeri
PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.
SOURCE: Eur. Pat. Appl., 68 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 761673	A1	19970312	EP 1996-113998	19960902
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
ZA 9607515	A	19970312	ZA 1996-7515	19950905
US 5804577	A	19980908	US 1996-708161	19960827
CA 2184971	AA	19970313	CA 1996-2184971	19960906
AU 9665506	A1	19970320	AU 1996-65506	19960906
AU 709077	B2	19990819		
NO 9603805	A	19970313	NO 1996-3805	19960911
CN 1150950	A	19970604	CN 1996-112538	19960911
CN 1060778	B	20010117		
JP 09132578	A2	19970520	JP 1996-242253	19960912
BR 9603734	A	19980526	BR 1996-3734	19960912
KR 209839	B1	19990715	KR 1996-39493	19960912
PRIORITY APPLN. INFO.:			EP 1995-114303	19950912
			EP 1995-114304	19950912

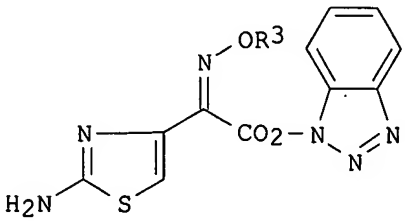
GI



I



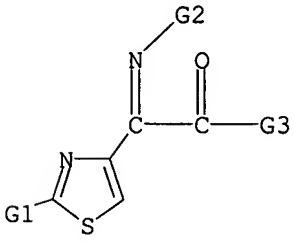
II



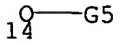
III

AB Cephalosporin derivs. I [R1 = 2-, 3-, 4-hydroxyphenyl, 2-, 3-methoxyphenyl, 4-carboxyphenyl, 4-carbamoylphenyl, 3-trifluoromethylphenyl, 2-, 3-fluorophenyl, 3-nitrophenyl, 3-fluoro-4-hydroxyphenyl, 2-fluoro-4-hydroxyphenyl, 3-fluoro-2-hydroxyphenyl, 3-, 4-dihydroxyphenyl, benzyl, 3-hydroxybenzyl, 4-aminobenzyl, 2-, 3- and 4-fluorobenzyl, 2-, 3-, 4-methoxybenzyl, 4-nitrobenzyl, 4-carboxybenyl, 4-trifluoromethylbenzyl, 1-, 2-naphthyl, pyridinyl, pyrimidyl, pyrazinyl, pyridazinyl, piperidinyl, thiadiazolyl, oxo-tetrahydrofuranyl, thienyl, tetrazolylalkyl, tetrahydrofuranylalkyl, thienylalkyl, benzimidazolylalkyl, -CHR-Ph; R = carboxy, esterified carboxy] were prepared for use as antibacterial agents. Thus, I (R1 = 4-hydroxyphenyl), which was prepared via a series of steps starting from Br(CH2)2CHBrCOCl, 4-H2NC6H4OCO2CMe3, ester II (R2 = CO2CMe3), and amine III (R3 = CPh3), showed a MIC value of 0.25 μg/mL when tested against S. aureus 6538 as compared to 0.5 μg/mL for cefdinir and 4 μg/mL for ceftriaxone.

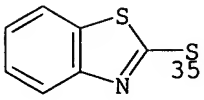
MSTR 3



G1 = phthalimido
G2 = 14



G3 = 35



G5 = COMe
Patent location: claim 21